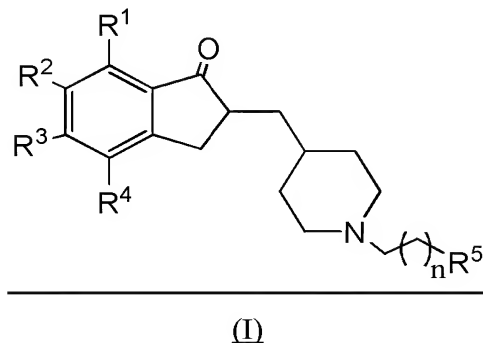


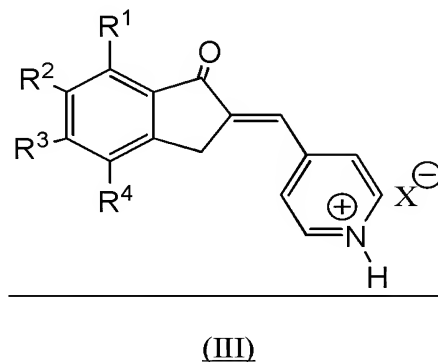
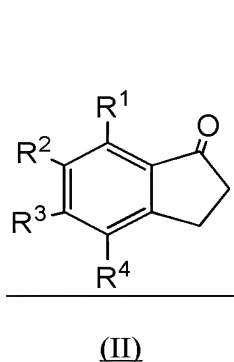
**Amendments to the Claims:**

1. (Currently amended) A process for producing a Donepezil derivative of formula (I),

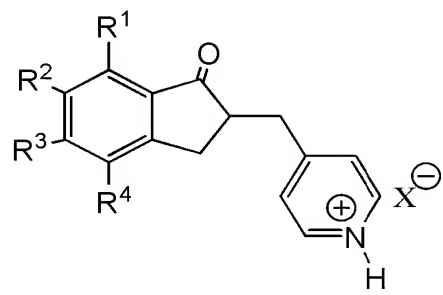


wherein  $R^1$ ,  $R^2$ ,  $R^3$ , and  $R^4$  each independently represents H, F, an alkyl having from 1 to 4 carbon atoms, or an alkoxy having from 1 to 4 carbon atoms;  $R^5$  represents a phenyl or a substituted phenyl; and  $n$  is an integer from 0 to 2, characterized in that the process comprises:

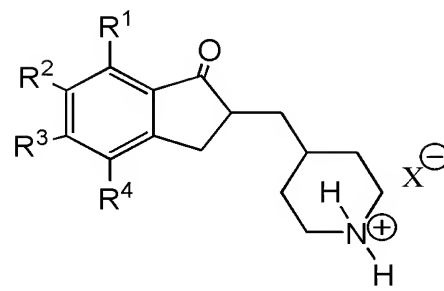
a) a reaction of 4-pyridinecarboxaldehyde with a compound of formula (II) in toluene or benzene to form, in the presence of a strong acid  $HX_x$ , a compound of the formula (III);



b) a catalytic hydrogenation of a compound of formula (III) or the compound of formula (V) in a solvent selected from water, an alcohol, an ether, an ester, or an organic acid to yield a compound of formula (IV); and

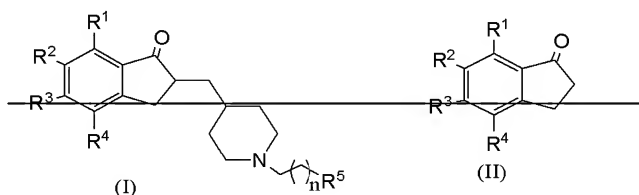


(V)

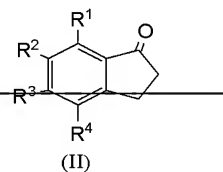


(IV)

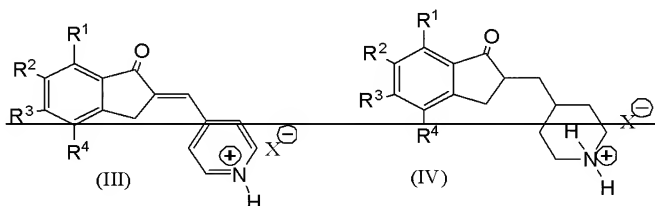
c) an N-alkylation ~~alkylation~~ reaction of a compound of formula (IV) in the presence of base at a temperature of from about 0°C to about 150°C to yield a compound of formula (I).



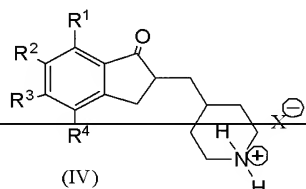
(I)



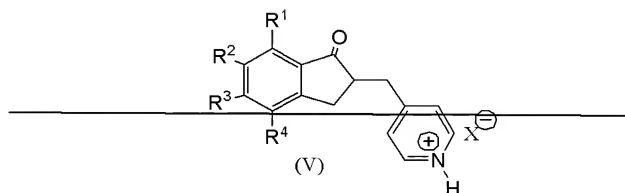
(II)



(III)



(IV)



(V)

2. (Original) The process according to claim 1 for the preparation of a compound of the general formula (I), wherein R<sup>1</sup>, R<sup>2</sup>, R<sup>3</sup>, and R<sup>4</sup> each independently represents H, F, an alkyl having from 1 to 4 carbon atoms, or an alkoxy having 1 to 4 carbon atoms; R<sup>5</sup> represents a phenyl or substituted phenyl; and n is an integer from 0 to 2,

characterized in that a compound of formula (I) is produced by reacting a compound of formula  $Y-(CH_2)_{n+1}R^5$  with a compound of formula (IV) in the presence of a base, wherein Y represents a chlorine atom, a bromine atom, or an iodine atom.

3. (Original) The process according to claim 1 for the preparation of a compound of the general formula (I), wherein  $R^1$ ,  $R^2$ ,  $R^3$ , and  $R^4$  each independently represents H, F, an alkyl having from 1 to 4 carbon atoms, or an alkoxy having from 1 to 4 carbon atoms;  $R^5$  represents a phenyl or a substituted phenyl; and n is an integer from 0 to 2, characterized in that a compound of formula (I) is produced by reacting a compound of formula  $OHC-(CH_2)_nR^5$  with a compound of formula (IV), in the presence of a reducing agent.
4. (Original) The process according to claim 1 for the preparation of a compound of the general formula (I), wherein  $R^1$ ,  $R^2$ ,  $R^3$ , and  $R^4$  each independently represents H, F, an alkyl having from 1 to 4 carbon atoms, or an alkoxy having from 1 to 4 carbon atoms; HX represents an alkyl sulfonic acid, benzene sulfonic acid, a substituted benzene sulfonic acid, hydrochloric acid, sulfuric acid, nitric acid, or phosphoric acid, characterized in that a compound of formula (IV) is produced by the catalytic hydrogenation of a compound of formula (III).
5. (Original) The process according to claim 1 for the preparation of a compound of the general formula (I), wherein  $R^1$ ,  $R^2$ ,  $R^3$ , and  $R^4$  each independently represents H, F, an alkyl having from 1 to 4 carbon atoms, or an alkoxy having from 1 to 4 carbon atoms; and HX represents a strong acid, characterized in that a compound of formula (IV) is produced by catalytic hydrogenation of a compound of formula (V).
6. (Original) The process according to claim 1 for the preparation of a compound of the general formula (I), wherein  $R^1$ ,  $R^2$ ,  $R^3$ , and  $R^4$  each independently represents H, F, an alkyl having from 1 to 4 carbon atoms, or an alkoxy having from 1 to 4 carbon atoms; and HX represents a strong acid, characterized in that 4-pyridinecarboxaldehyde

reacts with a compound of formula (II) in the presence of a strong acid HX to form a compound of the formula (III).

7.-10. (Canceled)

11. (Previously presented) The process according to claim 1 for the preparation of a compound of the general formula (I), characterized in that  $R^1$  represents hydrogen;  $R^2$  represents a methoxy;  $R^3$  represents a methoxy;  $R^4$  represents hydrogen;  $R^5$  represents a phenyl or a 3-fluorophenyl; n is 0; HX represents methyl sulfonic acid, benzene sulfonic acid, or p-toluenesulfonic acid; and Y represents a chlorine, a bromine, or an iodine.
12. (Previously presented) The process according to claim 2 for the preparation of a compound of the general formula (I), characterized in that  $R^1$  represents hydrogen;  $R^2$  represents a methoxy;  $R^3$  represents a methoxy;  $R^4$  represents hydrogen;  $R^5$  represents a phenyl or a 3-fluorophenyl; n is 0; HX represents methyl sulfonic acid, benzene sulfonic acid, or p-toluenesulfonic acid; and Y represents a chlorine, a bromine, or an iodine.
13. (Previously presented) The process according to claim 3 for the preparation of a compound of the general formula (I), characterized in that  $R^1$  represents hydrogen;  $R^2$  represents a methoxy;  $R^3$  represents a methoxy;  $R^4$  represents hydrogen;  $R^5$  represents a phenyl or a 3-fluorophenyl; n is 0; HX represents methyl sulfonic acid, benzene sulfonic acid, or p-toluenesulfonic acid; and Y represents a chlorine, a bromine, or an iodine.
14. (Previously presented) The process according to claim 6 for the preparation of a compound of the general formula (I), characterized in that  $R^1$  represents hydrogen;  $R^2$  represents a methoxy;  $R^3$  represents a methoxy;  $R^4$  represents hydrogen;  $R^5$  represents a phenyl or a 3-fluorophenyl; n is 0; HX represents methyl sulfonic acid, benzene

sulfonic acid, or p-toluenesulfonic acid; and Y represents a chlorine, a bromine, or an iodine.

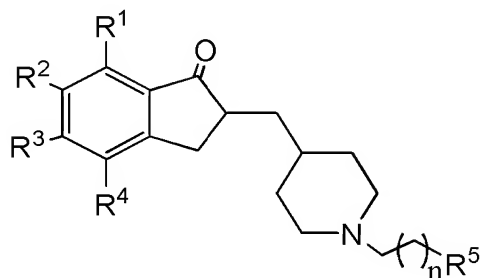
15. (Previously presented) The process according to claim 1 for the preparation of a compound of the general formula (I) wherein within said compound of formula (III)  $R^1$  represents hydrogen,  $R^2$  represents methoxy,  $R^3$  represents methoxy,  $R^4$  represents hydrogen, and HX represents methyl sulfonic acid, benzene sulfonic acid, or p-toluenesulfonic acid, characterized in that said compound of formula (IV) is produced from a compound of formula (III) by catalytic hydrogenation, wherein the catalyst is platinum, palladium, nickel, ruthenium, or salts or oxides thereof.
16. (Previously presented) The process according to claim 4 for the preparation of a compound of the general formula (I) wherein within said compound of formula (III)  $R^1$  represents hydrogen,  $R^2$  represents methoxy,  $R^3$  represents methoxy,  $R^4$  represents hydrogen, and HX represents methyl sulfonic acid, benzene sulfonic acid, or p-toluenesulfonic acid, characterized in that said compound of formula (IV) is produced from a compound of formula (III) by catalytic hydrogenation, wherein the catalyst is platinum, palladium, nickel, ruthenium, or salts or oxides thereof.
17. (Previously presented) The process according to claim 5 for the preparation of a compound of the general formula (I) wherein within said compound of formula (III)  $R^1$  represents hydrogen,  $R^2$  represents methoxy,  $R^3$  represents methoxy,  $R^4$  represents hydrogen, and HX represents methyl sulfonic acid, benzene sulfonic acid, or p-toluenesulfonic acid, characterized in that said compound of formula (IV) is produced from a compound of formula (III) by catalytic hydrogenation, wherein the catalyst is platinum, palladium, nickel, ruthenium, or salts or oxides thereof.
18. (Previously presented) The process according to claim 1 for the preparation of a compound of the general formula (I), wherein within said compound of formula (V)  $R^1$  represents hydrogen,  $R^2$  represents methoxy,  $R^3$  represents methoxy,  $R^4$  represents

hydrogen, and HX represents methyl sulfonic acid, benzene sulfonic acid, or p-toluenesulfonic acid, characterized in that said compound of formula (IV) is produced from a compound of formula (V) by catalytic hydrogenation, wherein the catalyst is platinum, palladium, nickel, ruthenium, or salts or oxides thereof.

19. (Previously presented) The process according to claim 4 for the preparation of a compound of the general formula (I), wherein within said compound of formula (V)  $R^1$  represents hydrogen,  $R^2$  represents methoxy,  $R^3$  represents methoxy,  $R^4$  represents hydrogen, and HX represents methyl sulfonic acid, benzene sulfonic acid, or p-toluenesulfonic acid, characterized in that said compound of formula (IV) is produced from a compound of formula (V) by catalytic hydrogenation, wherein the catalyst is platinum, palladium, nickel, ruthenium, or salts or oxides thereof.
20. (Previously presented) The process according to claim 5 for the preparation of a compound of the general formula (I), wherein within said compound of formula (V)  $R^1$  represents hydrogen,  $R^2$  represents methoxy,  $R^3$  represents methoxy,  $R^4$  represents hydrogen, and HX represents methyl sulfonic acid, benzene sulfonic acid, or p-toluenesulfonic acid, characterized in that said compound of formula (IV) is produced from a compound of formula (V) by catalytic hydrogenation, wherein the catalyst is platinum, palladium, nickel, ruthenium, or salts or oxides thereof.
21. (Previously presented) The process according to claim 1 for the preparation of a compound of the general formula (I), characterized in that reacting 4-pyridinecarboxaldehyde with a compound of formula (II) in the presence of methyl sulfonic acid, benzene sulfonic acid, or p-toluenesulfonic acid yields a compound of formula (III), wherein  $R^1$  represents hydrogen,  $R^2$  represents methoxy,  $R^3$  represents methoxy, and  $R^4$  represents hydrogen.
22. (Previously presented) The process according to claim 6 for the preparation of a compound of the general formula (I), characterized in that reacting 4-

pyridinecarboxaldehyde with a compound of formula (II) in the presence of methyl sulfonic acid, benzene sulfonic acid, or p-toluenesulfonic acid yields a compound of formula (III), wherein  $R^1$  represents hydrogen,  $R^2$  represents methoxy,  $R^3$  represents methoxy, and  $R^4$  represents hydrogen.

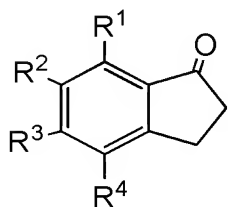
23. (New) A process for producing a Donepezil derivative of formula (I),



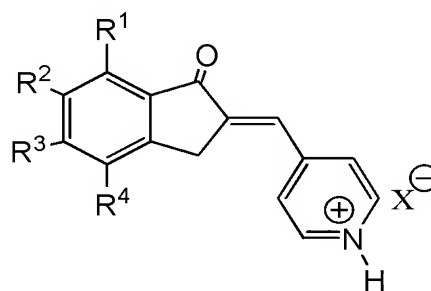
(I)

wherein  $R^1$ ,  $R^2$ ,  $R^3$ , and  $R^4$  each independently represents H, F, an alkyl having from 1 to 4 carbon atoms, or an alkoxy having from 1 to 4 carbon atoms;  $R^5$  represents a phenyl or a substituted phenyl; and n is 0, comprising:

a) a reaction of 4-pyridinecarboxaldehyde with a compound of formula (II) in refluxing toluene, in the presence of a strong acid HX, to form a compound of formula (III);

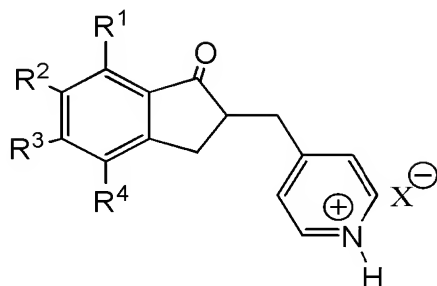


(II)

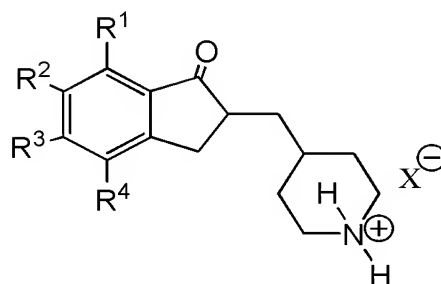


(III)

b) a catalytic hydrogenation of a compound of formula (III) or the compound of formula (V) in methanol with  $H_2$  in the presence of Pd/C to yield a compound of formula (IV); and



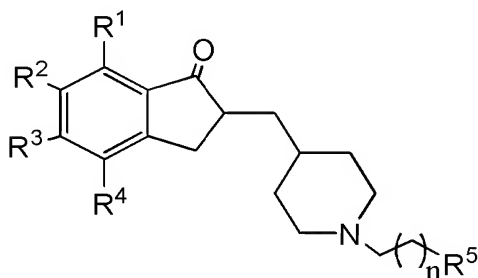
(V)



(IV)

c) a reaction of a compound of formula (IV) with a compound of formula  $\text{OHC}-(\text{CH}_2)_n\text{R}^5$ , wherein  $\text{R}^5$  represents a phenyl or a substituted phenyl, and  $n$  is 0, and with  $\text{H}_2$ , in the presence of a base and  $\text{Pd/C}$ , at a temperature of from about  $0^\circ\text{C}$  to about  $150^\circ\text{C}$ , to yield a compound of formula (I).

24. (New) A process for producing a Donepezil derivative of formula (I),

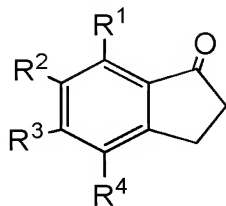


(I)

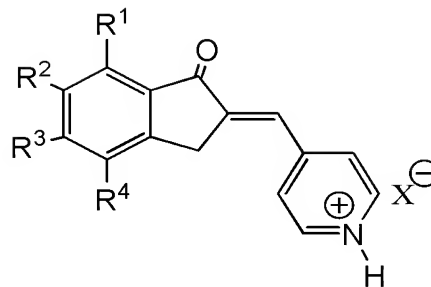
wherein  $\text{R}^1$ ,  $\text{R}^2$ ,  $\text{R}^3$ , and  $\text{R}^4$  each independently represents H, F, an alkyl having from 1 to 4 carbon atoms, or an alkoxy having from 1 to 4 carbon atoms;  $\text{R}^5$  represents a phenyl or a substituted phenyl; and  $n$  is 0, comprising:

a) a reaction of 4-pyridinecarboxaldehyde with a compound of formula (II) in refluxing toluene, in the presence of at least a stoichiometric amount of p-toluenesulfonic acid with respect to the compound of formula (II), to form a compound of formula (III);



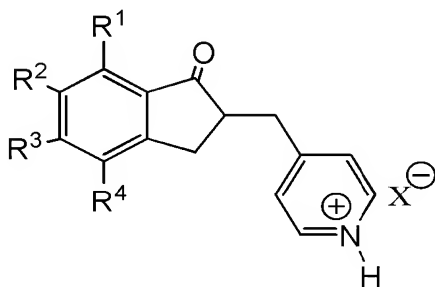


(II)

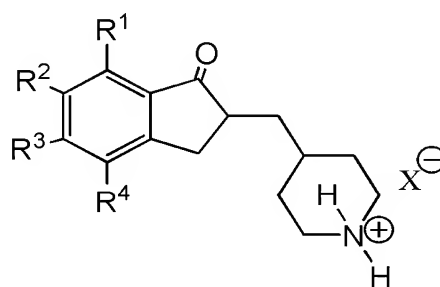


(III)

b) a catalytic hydrogenation of a compound of formula (III) or the compound of formula (V) in methanol with  $H_2$  in the presence of Pd/C and a base to yield a compound of formula (IV); and



(V)



(IV)

c) a reaction of a compound of formula (IV) with a compound of formula  $OHC-(CH_2)_nR^5$ , wherein  $R^5$  represents a phenyl or a substituted phenyl, and n is 0, and with  $H_2$ , in methanol, in the presence of Pd/C and a base, at a temperature of from about  $0^\circ C$  to about  $150^\circ C$ , to yield a compound of formula (I);

wherein b) and c) are carried out in situ without purification of the compound of formula (IV).